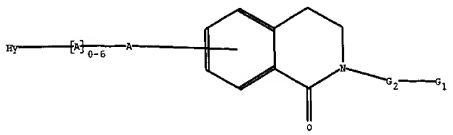
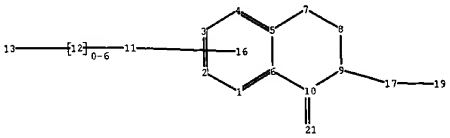
C:\STNEXP4\QUERIES\099421[redacted].str





chain nodes :
11 12 13 17 19 21
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
9-17 10-21 11-12 12-13 17-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
9-17 10-21 11-12 12-13 17-19
exact bonds :
5-7 6-10 7-8 8-9 9-10
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

G1:O,S,N

G2:O,N,S,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:CLASS 12:CLASS 13:Atom 16:CLASS 17:CLASS 19:CLASS
21:CLASS

```
=> d his

     (FILE 'HOME' ENTERED AT 18:09:02 ON 19 MAR 2003)

     FILE 'REGISTRY' ENTERED AT 18:09:07 ON 19 MAR 2003
L1                STRUCTURE UPLOADED
L2                QUE L1
L3                STRUCTURE UPLOADED
L4                QUE L3
L5              3 S L4
L6            894 S L4 SSS FUL
L7            888 S L2  SUB=L6 FUL
L8              6 S L6 NOT L7

     FILE 'CAPLUS' ENTERED AT 18:12:01 ON 19 MAR 2003
L9             79 S L7
L10           ANALYZE L9 1- RN HIT :      447 TERMS
L11            81 S L6
L12             2 S L11 NOT L9

     FILE 'REGISTRY' ENTERED AT 18:13:46 ON 19 MAR 2003
L13               STRUCTURE UPLOADED
L14               QUE L13
L15             9 S L14
L16           994 S L14 SSS FUL

     FILE 'CAPLUS' ENTERED AT 18:14:44 ON 19 MAR 2003
L17            52 S L16

     FILE 'REGISTRY' ENTERED AT 18:15:10 ON 19 MAR 2003
L18               STRUCTURE UPLOADED
L19               QUE L18
L20             4 S L19
L21           464 S L19  SUB=L16 FUL
L22               STRUCTURE UPLOADED
L23               QUE L22
L24             0 S L23
L25             0 S L23  SUB=L16 FUL
L26               STRUCTURE UPLOADED
L27               QUE L26
L28             0 S L27  SUB=L16 FUL

     FILE 'CAPLUS' ENTERED AT 18:17:35 ON 19 MAR 2003
L29            13 S L21

=> d l14
L14 HAS NO ANSWERS
L13                STR
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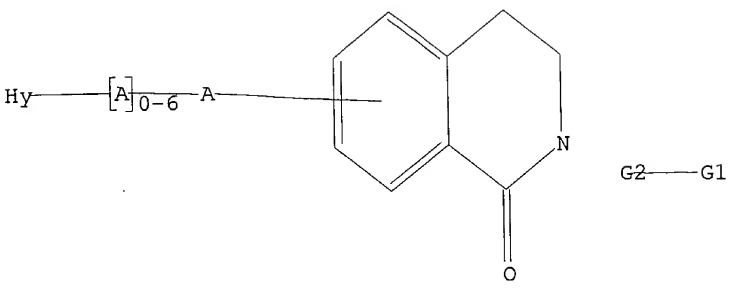
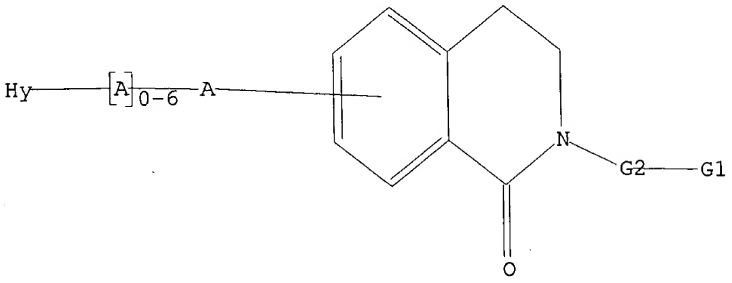


G1 O,S,N

G2 O,N,S,Ak

Structure attributes must be viewed using STN Express query preparation.
L14 QUE ABB=ON PLU=ON L13

=> d l19
L19 HAS NO ANSWERS
L18 STR



G1 O,S,N

G2 O,N,S,Ak

Structure attributes must be viewed using STN Express query preparation.
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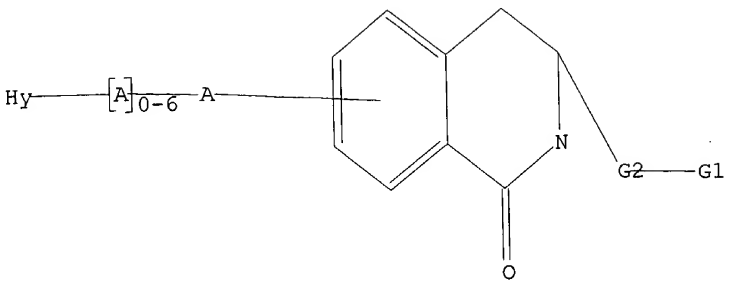
=> d l23
L23 HAS NO ANSWERS
L22 STR

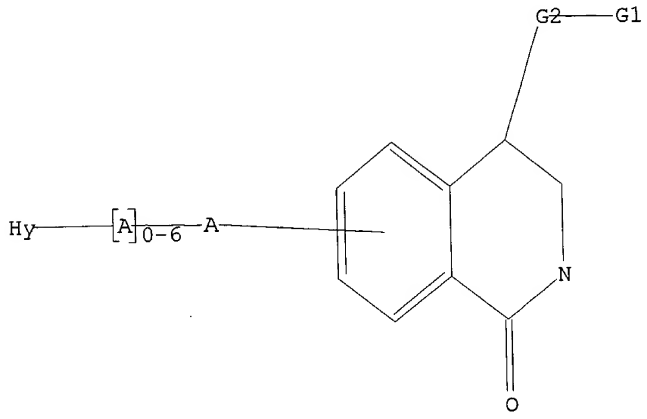


G1 O,S,N

G2 O,N,S,Ak

Structure attributes must be viewed using STN Express query preparation.
L23 QUE ABB=ON PLU=ON L22

=> d l26
L26 HAS NO ANSWERS
L26 STR



G1 O,S,N

G2 O,N,S,Ak

Structure attributes must be viewed using STN Express query preparation.

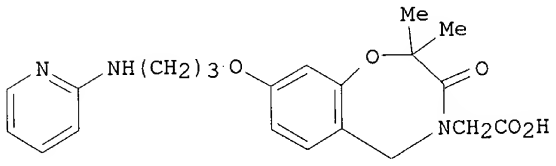
=> d bib abs hitstr l29 1-13

applicant's

L29 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 2002:171893 CAPLUS
DN 136:232323
TI Compounds containing a pyridinylaminopropoxybicyclic ring system useful as .alpha.v.beta.3 antagonists
IN Ish, Kumar Khanna; Yi, Yu; Balekudru, Devadas; Hwang-Fun, Lu; Nizal, S. Chandrakumar
PA Pharmacia Corporation, USA
SO PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002018377	A1	20020307	WO 2001-US26889	20010829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001088485	A5	20020313	AU 2001-88485	20010829
US 2002072518	A1	20020613	US 2001-942174	20010829
PRAI US 2000-228693P	P	20000829		
WO 2001-US26889	W	20010829		

OS MARPAT 136:232323
GI

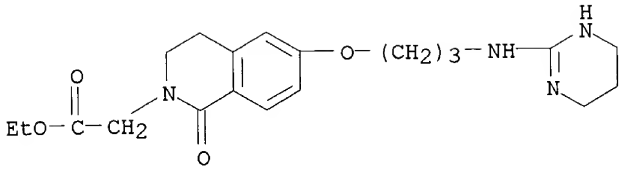


I

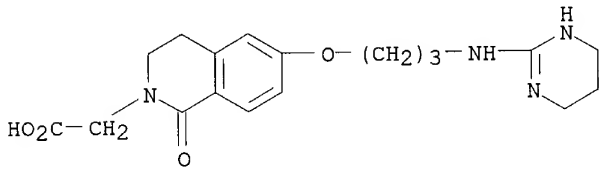
AB Title compds. were prepd. for use as selective inhibitors or antagonists of the .alpha.v.beta.3 and/or .alpha.v.beta.5 integrin. Thus, the benzoxazepine I was prepd. by treating 4-benzyloxysalicylaldehyde with BrCMe2CO2CH2Ph and H2NCH2CO2CMe3, debenzylating, cyclizing, reaction with 2-(3-hydroxypropylamino)pyridine 1-oxide, redn. of the N-oxide, and ester hydrolysis. The compds. showed activity in several vitronectin receptor assays.

IT **402933-61-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(compds. contg. a pyridinylaminopropoxybicyclic ring system useful as .alpha.v.beta.3 antagonists)

RN 402933-61-3 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propoxy]-, ethyl ester (9CI) (CA INDEX NAME)



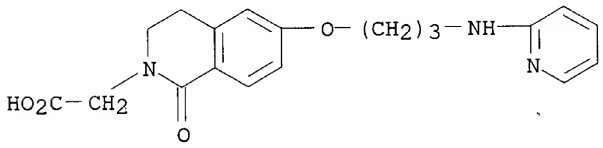
IT **402933-62-4P 402933-78-2P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(compds. contg. a pyridinylaminopropoxybicyclic ring system useful as .alpha.v.beta.3 antagonists)
RN 402933-62-4 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[3-[(1,4,5,6-tetrahydro-2-pyrimidinyl)amino]propoxy]- (9CI) (CA INDEX NAME)



RN 402933-78-2 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[3-(2-pyridinylamino)propoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

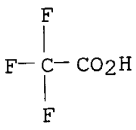
CM 1

CRN 402933-77-1
CMF C19 H21 N3 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

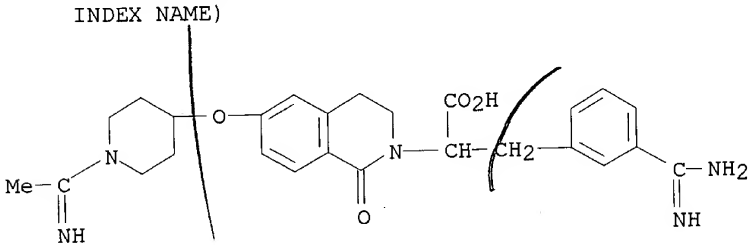


RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

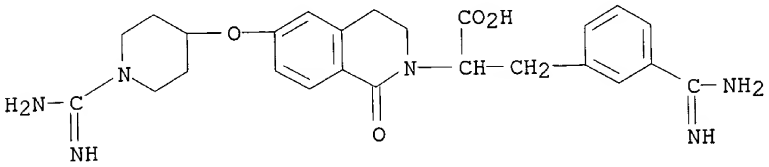
L29 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 2001:730707 CAPLUS
DN 135:267245
TI Isoquinolone inhibitors of factor Xa, their preparation, and their therapeutic use
IN Marlowe, Charles K.; Li, Wenhao; Su, Ting; Scarborough, Robert M.
PA Cor Therapeutics, Inc., USA
SO PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001072712	A1	20011004	WO 2001-US9376	20010326
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002058677	A1	20020516	US 2001-816771	20010326
	US 6469026	B2	20021022		
	EP 1268432	A1	20030102	EP 2001-922617	20010326
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-192619P	P	20000324		
	WO 2001-US9376	W	20010326		

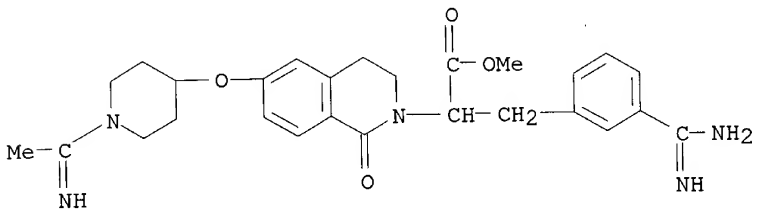
OS MARPAT 135:267245
AB Isoquinolone compds. (Markush included), including pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrug derivs., having activity against mammalian factor Xa, are described. Compns. contg. such compds. are also described. The compds. and compns. are useful in vitro or in vivo for preventing or treating conditions in mammals characterized by undesired thrombosis.
IT **364048-79-3P 364048-80-6P 364048-81-7P 364048-82-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(isoquinolone inhibitors of factor Xa, prepn., and therapeutic use)
RN 364048-79-3 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, .alpha.-[[3-(aminoiminomethyl)phenyl]methyl]-3,4-dihydro-6-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-1-oxo- (9CI) (CA INDEX NAME)



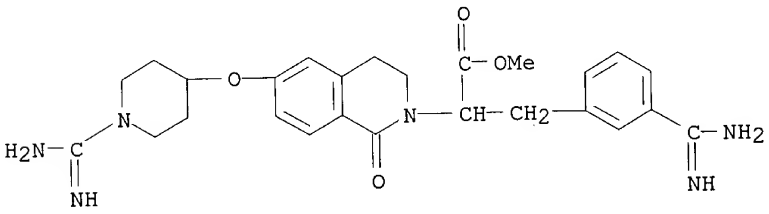
RN 364048-80-6 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, .alpha.-[[3-(aminoiminomethyl)phenyl]methyl]-6-[[1-(aminoiminomethyl)-4-piperidinyl]oxy]-3,4-dihydro-1-oxo- (9CI) (CA INDEX NAME)



RN 364048-81-7 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, .alpha.-[[3-(aminoiminomethyl)phenyl]methyl]-3,4-dihydro-6-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

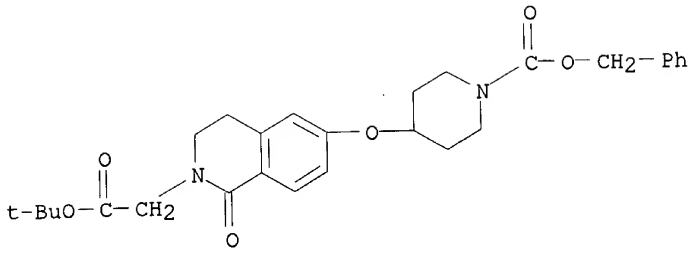


RN 364048-82-8 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, .alpha.-[[3-(aminoiminomethyl)phenyl]methyl]-6-[[1-(aminoiminomethyl)-4-piperidinyl]oxy]-3,4-dihydro-1-oxo-, methyl ester (9CI) (CA INDEX NAME)

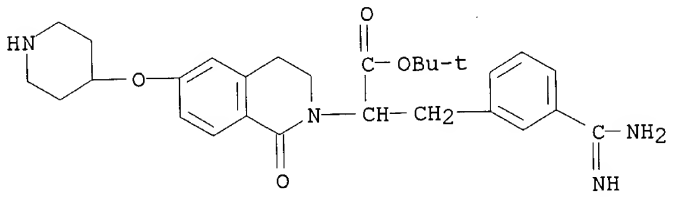


IT **364048-75-9P 364048-76-0P 364048-77-1P 364048-78-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction; isoquinolone inhibitors of factor Xa, prepn., and therapeutic use)

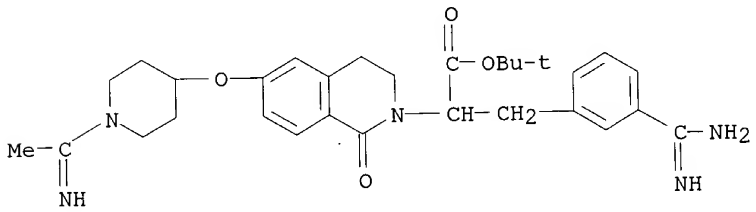
RN 364048-75-9 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[[1-[(phenylmethoxy)carbonyl]-4-piperidinyl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



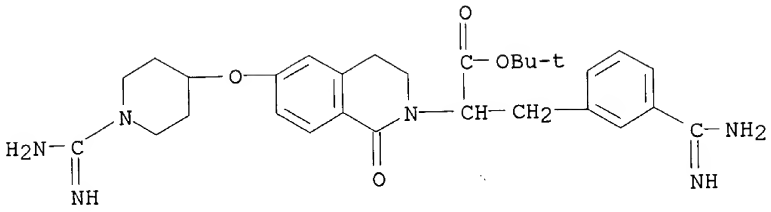
RN 364048-76-0 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, .alpha.-[[3-(aminoiminomethyl)phenyl]methyl]-3,4-dihydro-1-oxo-6-(4-piperidinyloxy)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 364048-77-1 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, .alpha.-[[3-(aminoiminomethyl)phenyl]methyl]-3,4-dihydro-6-[[1-(1-iminoethyl)-4-piperidinyl]oxy]-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 364048-78-2 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, .alpha.-[[3-(aminoiminomethyl)phenyl]methyl]-6-[[1-(aminoiminomethyl)-4-piperidinyl]oxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

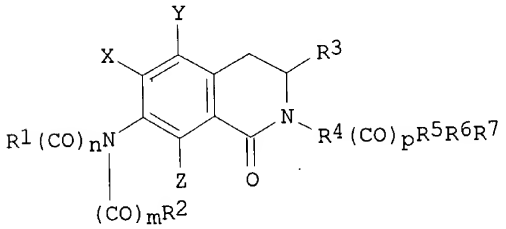


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 2001:152935 CAPLUS
DN 134:193349
TI Preparation and antimicrobial activities of combinatorial libraries of 4-unsubstituted dihydroisoquinolinone derivatives
IN Motesharei, Kianoush; Lebl, Michal; Krchnak, Viktor; Ni, Yidong
PA Trega Biosciences, Inc., USA
SO PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001014879	A1	20010301	WO 2000-US20774	20000728
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6452009	B1	20020917	US 1999-378569	19990819
	EP 1210598	A1	20020605	EP 2000-955287	20000728
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PRAI	US 1999-378569	A	19990819		
	WO 2000-US20774	W	20000728		

OS MARPAT 134:193349
GI

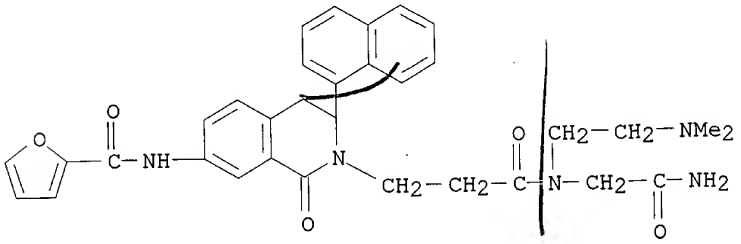


I

AB Dihydroisoquinolinones I [R1, R2 = H, alkyl, alkenyl, Ph, etc.; R3 = H, alkyl, heteroaryl, etc.; R4 = -, DWE and W = -, cycloalkyene, arylene, etc. and D and E = -, alkylene, alkynylene, etc.; R5 = -, O, S, amino; R6 = -, alkylene, alkenylene; R7 = H, halide, OR13, CO2R13, etc.; X, Y, Z = H, halo, OH, cyano, nitro, etc.; m, n, p = 0, 1 and when 0 the absent carbonyl can be replaced with SO2] were prepd. Thus, bromoacetic acid was coupled to a resin and the resulting compds. were coupled with 1,4-Boc-NH-CH2-Ph-COOH, deprotected, and reacted with an aldehyde. The resulting compds. were then reacted with 4-nitrohomophthalic acid, reduced with tin chloride, and the compds. were reacted with a carboxylic acid. The resulting compds. were then cleaved and extd. The melanocortin receptor assay and antimicrobial activity of I were investigated.

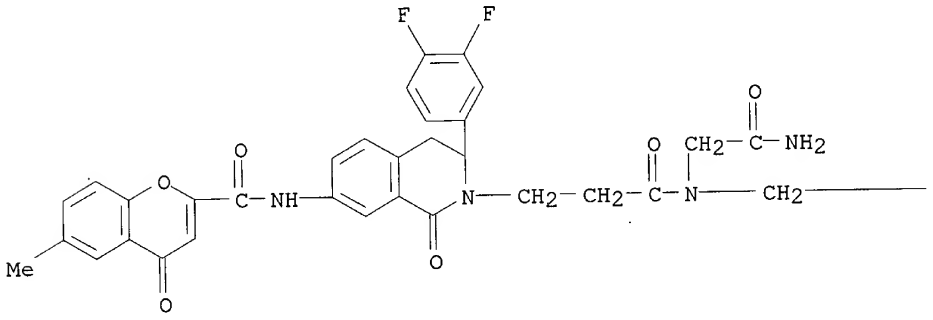
IT **317837-21-1P 328059-23-0P 328059-26-3P**
328059-28-5P 328059-51-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and antimicrobial activities of combinatorial libraries of dihydroisoquinolinones)

RN 317837-21-1 CAPLUS
CN 2(1H)-Isoquinolinepropanamide, N-(2-amino-2-oxoethyl)-N-[2-(dimethylamino)ethyl]-7-[(2-furanylcarbonyl)amino]-3,4-dihydro-3-(1-naphthalenyl)-1-oxo- (9CI) (CA INDEX NAME)

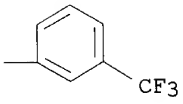


RN 328059-23-0 CAPLUS
CN 2(1H)-Isoquinolinepropanamide, N-(2-amino-2-oxoethyl)-3-(3,4-difluorophenyl)-3,4-dihydro-7-[[(6-methyl-4-oxo-4H-1-benzopyran-2-yl)carbonyl]amino]-1-oxo-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

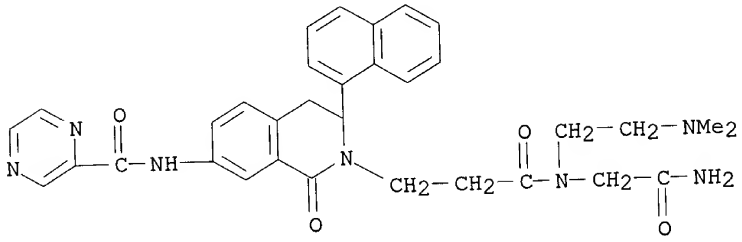


PAGE 1-B

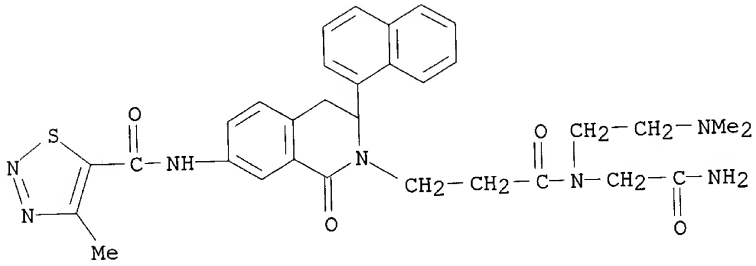


RN 328059-26-3 CAPLUS
CN 2(1H)-Isoquinolinepropanamide, N-(2-amino-2-oxoethyl)-N-[2-(dimethylamino)ethyl]-3,4-dihydro-3-(1-naphthalenyl)-1-oxo-7-

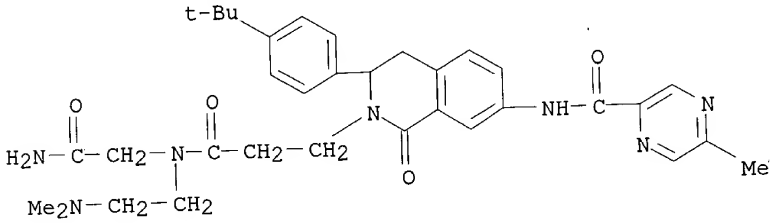
[(pyrazinylcarbonyl)amino]- (9CI) (CA INDEX NAME)



RN 328059-28-5 CAPLUS
CN 2(1H)-Isoquinolinepropanamide, N-(2-amino-2-oxoethyl)-N-[2-(dimethylamino)ethyl]-3,4-dihydro-7-[[(4-methyl-1,2,3-thiadiazol-5-yl)carbonyl]amino]-3-(1-naphthalenyl)-1-oxo- (9CI) (CA INDEX NAME)



RN 328059-51-4 CAPLUS
CN 2(1H)-Isoquinolinepropanamide, N-(2-amino-2-oxoethyl)-N-[2-(dimethylamino)ethyl]-3-[4-(1,1-dimethylethyl)phenyl]-3,4-dihydro-7-[[(5-methylpyrazinyl)carbonyl]amino]-1-oxo- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 2001:55332 CAPLUS
DN 134:105835
TI Preparation and application of selenomethionine chrome sulfonylureas as hypoglycemics
IN Dong, Guochen; Dong, Wenshuai
PA Peop. Rep. China
SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 16 pp.
CODEN: CNXXEV
DT Patent
LA Chinese
FAN.CNT 1

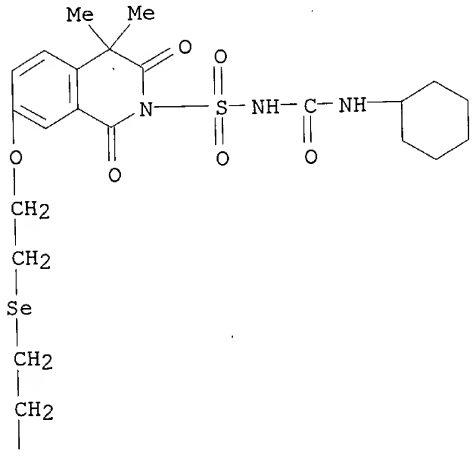
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1252273	A	20000510	CN 1999-121819	19991018
PRAI	CN 1999-121819		19991018		

AB Selenomethionine chrome sulfonylureas are obtained by reaction of chrome selenomethionine with sulfonylurea drugs such as glibenclamide, glipizide, gliclazide, gliquiudone, glibornuride, tolbutamide, and chlorpropamide, etc. The products are the third generation of oral hypoglycemic agents for treatment of type II diabetes mellitus. The compds. can be formulated into tablets and capsules.

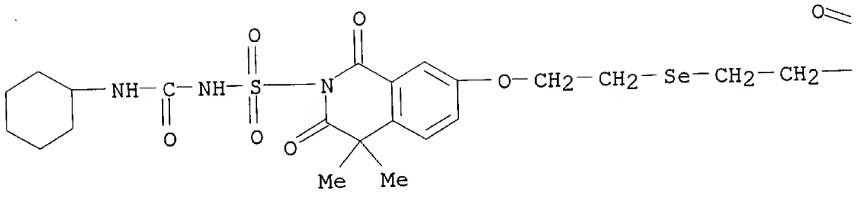
IT **318485-63-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of selenomethionine chrome sulfonylureas as hypoglycemics)

RN 318485-63-1 CAPLUS
CN Chromium, tris[(2S)-2-(amino-.kappa.N)-4-[[2-[[2-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]-1,2,3,4-tetrahydro-4,4-dimethyl-1,3-dioxo-7-isoquinolinyl]oxy]ethyl]seleno]butanoato-.kappa.O]- (9CI) (CA INDEX NAME)

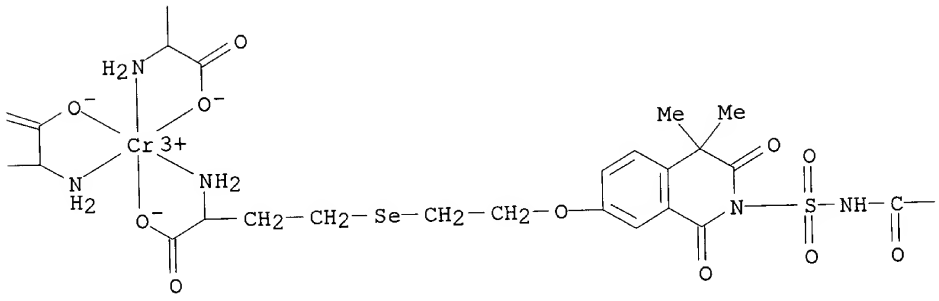
PAGE 1-B



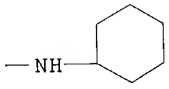
PAGE 2-A



PAGE 2-B



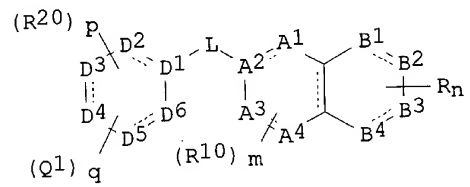
PAGE 2-C



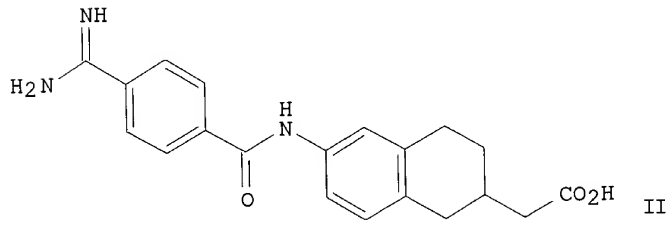
L19 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 2000:754527 CAPLUS
DN 133:309849
TI Preparation of arylcarboxamidines as glycoprotein IIb/IIIa antagonists.
IN Fisher, Matthew J.; Happ, Anne Marie; Jakubowski, Joseph A.; Kinnick, Michael Dean; Kline, Allen D.; Morin, John Michael, Jr.; Sall, Daniel J.; Skelton, Marshall A.; Vasileff, Robert Theodore
PA Eli Lilly & Co., USA
SO U.S., 69 pp., Cont.-in-part of U.S. 5,618,843.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6137002	A	20001024	US 1996-710823	19960923
	US 5618843	A	19970408	US 1994-255821	19940708
	US 6472405	B1	20021029	US 1999-299404	19990426
PRAI	US 1993-96220	B2	19930722		
	US 1994-255821	A2	19940708		
	US 1996-710823	A1	19960923		

OS MARPAT 133:309849
GI



I



II

AB Title compds. [I; rings AB = naphthyl, dihydronaphthyl, tetralinyl, decalinyl; R = H, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, OH, CO2H, amino, etc.; m, n = 2-6; p = 0-8; q = 1-3; R3 = CH2CO2H, NHCH2CO2H, OCH2CO2H, CH2CH2CO2H, CH:CHCO2H, CO2H, etc.; R10 = H, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, aryl, OH, alkoxy, aralkoxy, acyl, cyano, halo, NO2, etc.; L = 1-4 membered linking group contg. C, N, S, or O atoms; D = 6-membered ring wherein D1-D6 = C, N, O, S; .gtoreq.2 of D1-D6 = C; Q1 = (substituted) amino, imino, amidino, aminomethyleneamino, iminomethylamino, alkylamino, pyrrolyl, imidazolyl, pyranyl, pyrimidinyl, phthalazinyl, phenanthrolinyl, etc.; R20 = H, alkyl, haloalkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, (substituted) amino, etc.], were prepd. Thus, title compd. (II) (prepd. from 6-benzyloxycarbonylamino-1-tetralone) inhibited ADP-induced

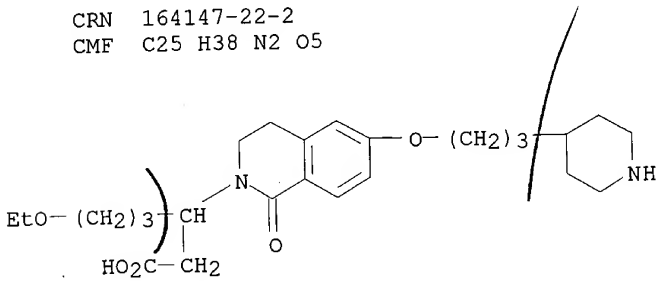
platelet aggregation with IC50 = 0.19 .mu.M.

IT **164147-23-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylcarboxamidines as glycoprotein IIb/IIIa antagonists)

RN 164147-23-3 CAPLUS
CN 2(1H)-Isoquinolinepropanoic acid, .beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-6-[3-(4-piperidinyl)propoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

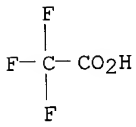
CM 1

CRN 164147-22-2
CMF C25 H38 N2 O5



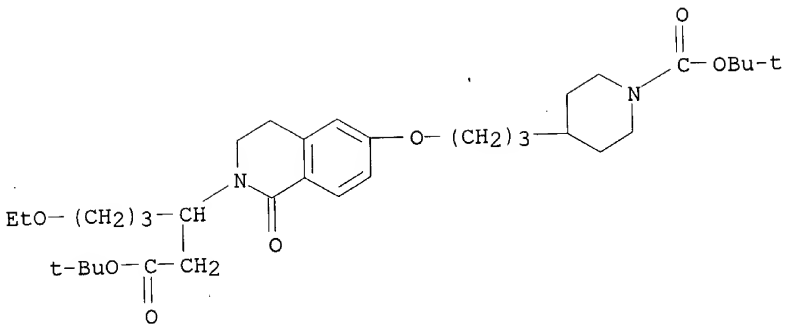
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **181073-73-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of arylcarboxamidines as glycoprotein IIb/IIIa antagonists)

RN 181073-73-4 CAPLUS
CN 2(1H)-Isoquinolinepropanoic acid, 6-[3-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]propoxy]-.beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

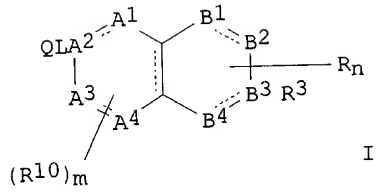


RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1998:207260 CAPLUS
DN 128:257341
TI Preparation of [(aminoiminomethyl)benzyloxy]isoquinolinylacetates, -benzopyranylacetates, and related compounds as glycoprotein IIb/IIIa antagonists
IN Fisher, Matthew J.; Happ, Anne Marie; Jakubowski, Joseph A.; Kinnick, Michael Dean; Kline, Allen D.; Martinelli, Michael John; Morin, John Michael, Jr.; Paal, Michael; Ruhter, Gerd; Ruterbories, Kenneth James; Sall, Daniel J.; Schotten, Theo; Skelton, Marshall A.; Stenzel, Wolfgang; Vasileff, Robert Theodore
PA Eli Lilly and Co., USA
SO U.S., 104 pp., Cont.-in-part of U.S. 5,618,843.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5731324	A	19980324	US 1995-376191	19950119
	US 5618843	A	19970408	US 1994-255821	19940708
	TW 419466	B	20010121	TW 1995-84114190	19951230
	CA 2210682	AA	19960725	CA 1996-2210682	19960118
	WO 9622288	A1	19960725	WO 1996-US586	19960118
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
	AU 9647580	A1	19960807	AU 1996-47580	19960118
	AU 706278	B2	19990610		
	EP 804431	A1	19971105	EP 1996-903516	19960118
	EP 804431	B1	20020724		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	JP 11502194	T2	19990223	JP 1996-522354	19960118
	BR 9607570	A	19990908	BR 1996-7570	19960118
	RU 2169146	C2	20010620	RU 1997-113756	19960118
	AT 220903	E	20020815	AT 1996-903516	19960118
	FI 9702951	A	19970821	FI 1997-2951	19970711
	NO 9703304	A	19970910	NO 1997-3304	19970717
	US 6020362	A	20000201	US 1998-47285	19980324
	US 6448269	B1	20020910	US 2001-883639	20010618
PRAI	US 1993-96220	B2	19930722		
	US 1994-255821	A2	19940708		
	US 1995-376191	A	19950119		
	WO 1996-US586	W	19960118		
	US 1998-47285	A1	19980324		
	US 1999-412142	B1	19991005		

OS MARPAT 128:257341
GI



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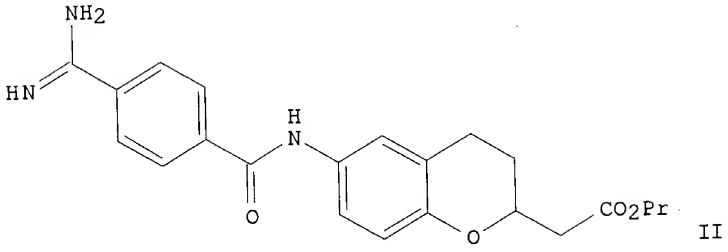


II

AB Title compds. [I; A1-A4, B1-B4 = C, O, S, N; 2 of B1-B4 = C; L = bond, divalent (substituted) chain of 1-10 atoms; Q = basic group; R3 = acidic group (deriv.); R, R10 = H, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, aralkoxy, amino, carbamyl, CO2H, acyl, cyano, halo, NO2, sulfo, O, S; m, n = 2-6; dotted lines = optional double bonds; with provisos], were prepd. Thus, title compd. (II) (prepn. given) inhibited ADP-induced platelet aggregation with IC50 = 0.078 .mu.M.

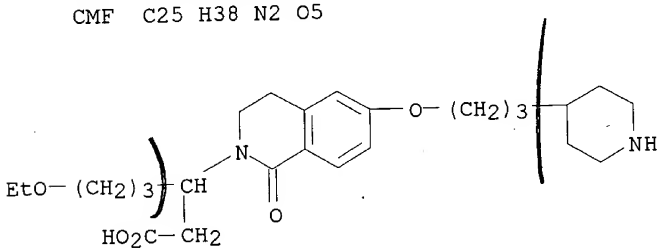
IT **164147-23-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of [(aminoiminomethyl)benzyloxy]isoquinolinylacetates, -benzopyranylacetates, and related compds. as glycoprotein IIb/IIIa antagonists)

RN 164147-23-3 CAPLUS

CN 2(1H)-Isoquinolinepropanoic acid, .beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-6-[3-(4-piperidinyl)propoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

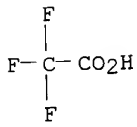
CM 1

CRN 164147-22-2
CMF C25 H38 N2 O5



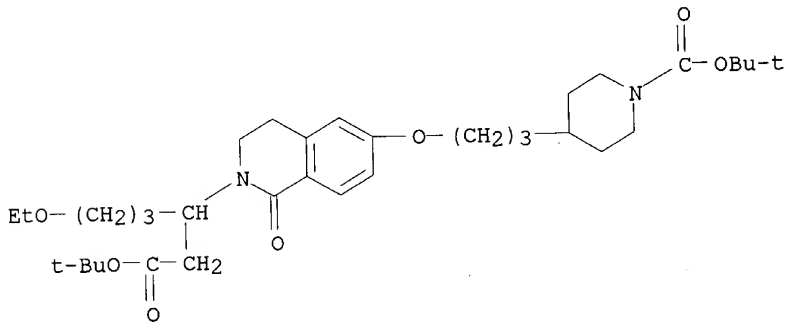
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **181073-73-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of [(aminoiminomethyl)benzyloxy]isoquinolinylacetates, -benzopyranylacetates, and related compds. as glycoprotein IIb/IIIa antagonists)

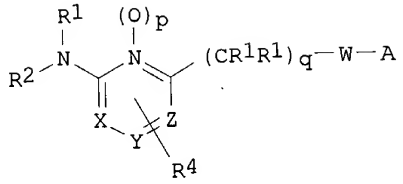
RN 181073-73-4 CAPLUS
CN 2(1H)-Isoquinolinepropanoic acid, 6-[3-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]propoxy]-.beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



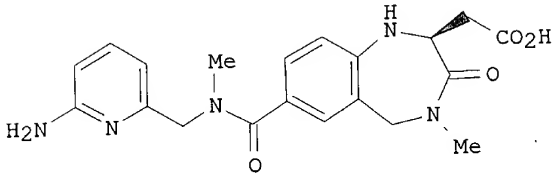
L29 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1997:547298 CAPLUS
DN 127:149074
TI Pyridine derivatives and analogs useful as vitronectin receptor antagonists
IN Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
PA Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
SO PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724122	A1	19970710	WO 1996-US20744	19961220
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2241724	AA	19970710	CA 1996-2241724	19961220
	AU 9713538	A1	19970728	AU 1997-13538	19961220
	EP 895475	A1	19990210	EP 1996-945085	19961220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	CN 1209060	A	19990224	CN 1996-180099	19961220
	BR 9612378	A	19990713	BR 1996-12378	19961220
	JP 2000502708	T2	20000307	JP 1997-524556	19961220
	ZA 9610855	A	19971124	ZA 1996-10855	19961223
	NO 9803002	A	19980826	NO 1998-3002	19980626
	US 2001034445	A1	20011025	US 2001-769125	20010124
PRAI	US 1995-9532P	P	19951229		
	WO 1996-US20744	W	19961220		
	US 1998-91936	B1	19981203		

OS MARPAT 127:149074
GI



I



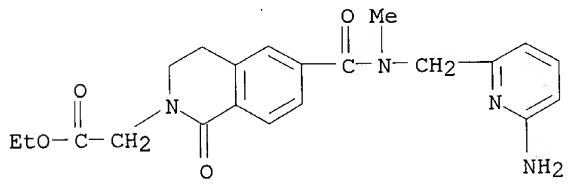
II

AB Title compds. I [A = fibrinogen antagonist template; W = (CHR3)nU(CHR3)mV; X, Y, Z = N or CR4, provided that at most one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = R1, COR1, CO2R1; R3 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R4 = H, halo, OR3, SR3, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR3R3, S, SO, SO2, O, NR3, etc.; n, m = 0, 1, 2; p, q = 0, 1; with addnl. provisos] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25 .mu.M, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include prepns. of 35 title compds., with characterizing data for 4 of them. For instance, amidation of 6-[(methylamino)methyl]-2-pyridinamine with the corresponding carboxybenzodiazepineacetate deriv., and sapon. of the product with LiOH in aq. THF, gave title compd. II.

IT **193470-40-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

RN 193470-40-5 CAPLUS

CN 2(1H)-Isoquinolineacetic acid, 6-[[[(6-amino-2-pyridinyl)methyl]methylamino]carbonyl]-3,4-dihydro-1-oxo-, ethyl ester (9CI) (CA INDEX NAME)

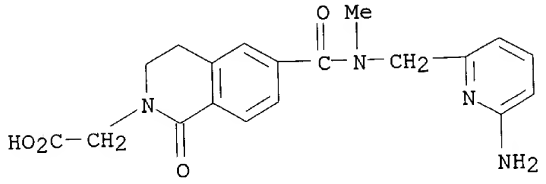


IT **193470-11-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

RN 193470-11-0 CAPLUS

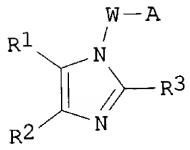
CN 2(1H)-Isoquinolineacetic acid, 6-[[[(6-amino-2-pyridinyl)methyl]methylamino]carbonyl]-3,4-dihydro-1-oxo- (9CI) (CA INDEX NAME)



L29 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1997:547296 CAPLUS
DN 127:161822
TI Benzimidazole derivatives and analogs as vitronectin receptor antagonists.
IN Miller, William Henry; Bondinell, William Edward; Ku, Thomas Wen-fu; Keenan, Richard Mcculloch; Samanen, James Martin; Kwon, Chet; Ali, Fadia El-fehail; Lago, Maria A.
PA Smithkline Beecham Corporation, USA; Miller, William Henry; Bondinell, William Edward; Ku, Thomas Wen-Fu; Keenan, Richard Mcculloch; Samanen, James Martin; Kwon, Chet; Ali, Fadia El-Fehail; Lago, Maria A.
SO PCT Int. Appl., 238 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724119	A1	19970710	WO 1996-US20748	19961220
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2241633	AA	19970710	CA 1996-2241633	19961220
	AU 9713540	A1	19970728	AU 1997-13540	19961220
	EP 869787	A1	19981014	EP 1996-945087	19961220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1209744	A	19990303	CN 1996-180113	19961220
	BR 9612327	A	19990713	BR 1996-12327	19961220
	JP 2000502354	T2	20000229	JP 1997-524557	19961220
	ZA 9610859	A	19971024	ZA 1996-10859	19961223
	NO 9803003	A	19980826	NO 1998-3003	19980626
PRAI	US 1995-9366P	P	19951229		
	WO 1996-US20748	W	19961220		

OS MARPAT 127:161822
GI



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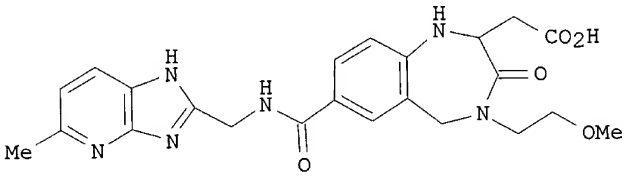


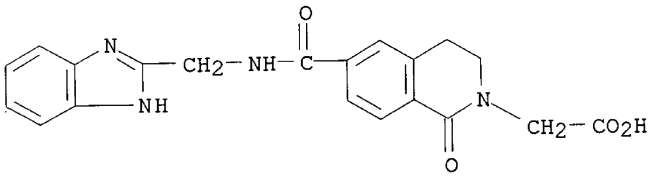
II

AB A variety of imidazoles, benzimidazoles, and analogs are disclosed, e.g., I [W = XV or C6H4; X = bond, (un)substituted CH2 or CH2CH2; V = certain substituted CONH or NHCO linkages; R1, R2 = H, alkyl, aralkyl, heteroaralkyl, halo, CF3, etc.; or R1R2 forms (un)substituted 5- or 6-membered carbo- or heterocyclic ring; R3 = H, alkyl, aralkyl; A = fibrinogen receptor antagonist template]. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis. Invention compds. are said to inhibit binding of SKF 107260 to vitronectin receptor at 0.001 to 50 .mu.M, and to have a vitronectin receptor Ki approx. 10- to 100-fold greater than that at the fibrinogen receptor. Over 80 example compds. are given, with characterization of 59 compds. For instance, title compd. II was prepd. by amidation of 2-(aminomethyl)-4-aza-5-methylbenzimidazole di-HCl with the corresponding carboxybenzodiazepineacetate deriv., using EDC and HOBt, followed by sapon. with LiOH in aq. THF.

IT **193533-06-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole derivs. and analogs as vitronectin receptor antagonists)

RN 193533-06-1 CAPLUS

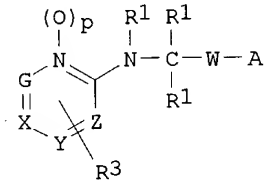
CN 2(1H)-Isoquinolineacetic acid, 6-[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]-3,4-dihydro-1-oxo- (9CI) (CA INDEX NAME)



L29 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1997:547292 CAPLUS
DN 127:149073
TI Pyridine derivatives and analogs useful as vitronectin receptor antagonists
IN Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
PA Smithkline Beecham Corporation, USA; Ali, Fadia E.; Bondinell, William E.; Keenan, Richard M.; Ku, Thomas Wen Fu; Miller, William H.; Samanen, James
SO PCT Int. Appl., 133 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9724124	A1	19970710	WO 1996-US20327	19961220
	W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9712955	A1	19970728	AU 1997-12955	19961220
	CN 1209063	A	19990224	CN 1996-180114	19961220
	EP 906103	A1	19990407	EP 1996-943818	19961220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
	BR 9612381	A	19990713	BR 1996-12381	19961220
	JP 2000502704	T2	20000307	JP 1997-524453	19961220
	ZA 9610854	A	19980402	ZA 1996-10854	19961223
	NO 9803001	A	19980826	NO 1998-3001	19980626
	US 6159964	A	20001212	US 1999-91937	19990727
PRAI	US 1995-9367P	P	19951229		
	WO 1996-US20327	W	19961220		

OS MARPAT 127:149073
GI



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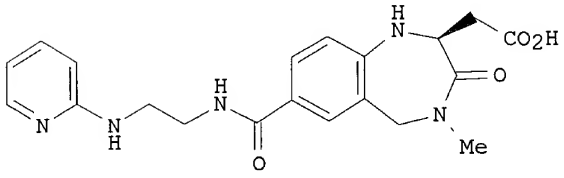


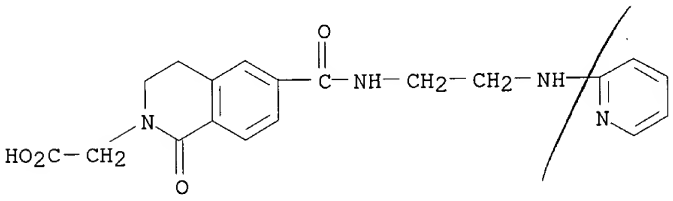
II

AB Title compds. I [A = fibrinogen antagonist template; W = (CHR2)nU(CHR2)mV; G, X, Y, Z = N or CR3, provided that no more than one is N; R1 = H, alkyl, cycloalkyl(alkyl), aryl(alkyl); R2 = H, alkyl, heterocyclyl(alkyl), cycloalkyl(alkyl), aryl(alkyl); R3 = H, halo, OR2, SR2, cyano, (un)substituted NH2, etc.; U, V = bond, CO, CR2R2, S, SO, SO2, O, NR2, etc.; n = 0, 1, 2, 3; m = 0, 1, 2; p = 0, 1] are disclosed. The compds. are vitronectin receptor antagonists, useful in the treatment of osteoporosis and other conditions. I are said to inhibit binding of SKF 107260 to vitronectin receptor in vitro at 0.01 to 25 .mu.M, with some compds. showing at least a 4-fold (and in some cases 10-fold) greater affinity for vitronectin receptor over fibrinogen receptor. Examples include prepns. of 41 title compds., with characterizing data for several of them. For instance, amidation of N-(2-pyridinyl)ethylenediamine with the corresponding carboxybenzodiazepineacetate deriv., and sapon. of the product with LiOH in aq. THF, gave title compd. II.

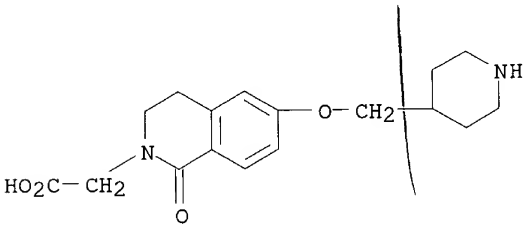
IT **193473-43-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridine derivs. and analogs as vitronectin receptor antagonists)

RN 193473-43-7 CAPLUS

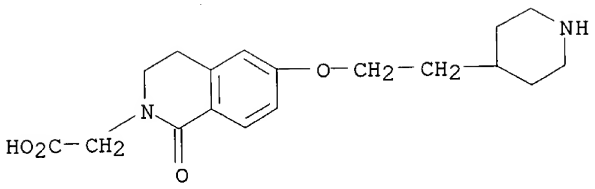
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[[[2-(2-pyridinylamino)ethyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



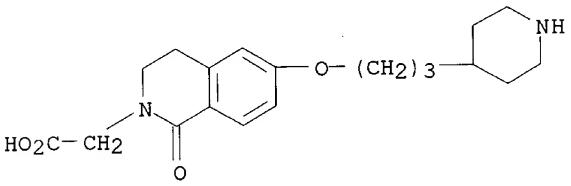
L29 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1997:397193 CAPLUS
DN 127:17561
TI Non-Peptide RGD Surrogates Which Mimic a Gly-Asp .beta.-Turn: Potent Antagonists of Platelet Glycoprotein IIb-IIIa
AU Fisher, Matthew J.; Gunn, Bruce; Harms, Cathy S.; Kline, Allen D.; Mullaney, Jeffrey T.; Nunes, Anne; Scarborough, Robert M.; Arfsten, Ann E.; Skelton, Marshall A.; Um, Suzane L.; Utterback, Barbara G.; Jakubowski, Joseph A.
CS Lilly Research Laboratories, Eli Lilly and Company, Indianapolis, IN, 46285, USA
SO Journal of Medicinal Chemistry (1997), 40(13), 2085-2101
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB A cyclic heptapeptide which contains an Arg-Gly-Asp sequence has good affinity for the platelet receptor GPIIb-IIIa and was chosen for study by 1H NMR techniques. The key RGD sequence of this mol. was found to reside in a conformationally defined type II' Gly-Asp .beta.-turn, and this information was used in the design of simple non-peptide RGD mimics. Disubstituted isoquinolones bearing an acidic side chain at position 2 and a basic side chain at position 6 were prepd. and found to have modest affinity for GPIIb-IIIa. Systematic modification of the basic residue contained in these mols. yielded compds. with high affinity for GPIIb-IIIa.
IT **190604-59-2P 190604-60-5P 190604-61-6P 190604-62-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(nonpeptide RGD surrogates which mimic a Gly-Asp .beta.-turn as antagonists of platelet glycoprotein IIb-IIIa)
RN 190604-59-2 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-(4-piperidinylmethoxy)- (9CI) (CA INDEX NAME)



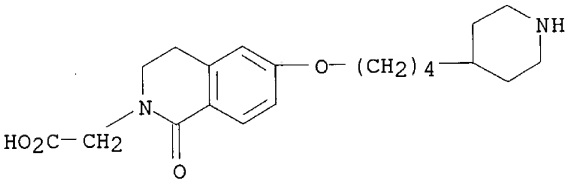
RN 190604-60-5 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[2-(4-piperidinyl)ethoxy]- (9CI) (CA INDEX NAME)



RN 190604-61-6 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[3-(4-piperidinyl)propoxy]- (9CI) (CA INDEX NAME)

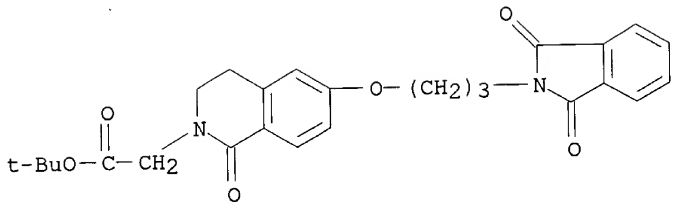


RN 190604-62-7 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 3,4-dihydro-1-oxo-6-[4-(4-piperidinyl)butoxy]- (9CI) (CA INDEX NAME)

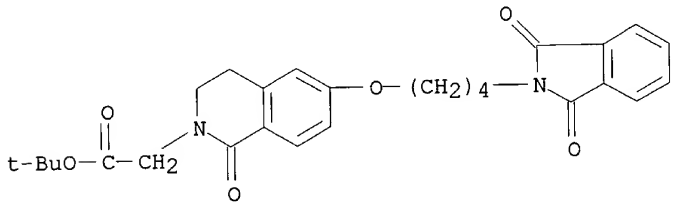


IT **190604-28-5P 190604-29-6P 190604-30-9P**
190604-31-0P 190604-49-0P 190604-50-3P
190604-55-8P 190604-56-9P 190604-57-0P
190604-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(nonpeptide RGD surrogates which mimic a Gly-Asp .beta.-turn as antagonists of platelet glycoprotein IIb-IIIa)

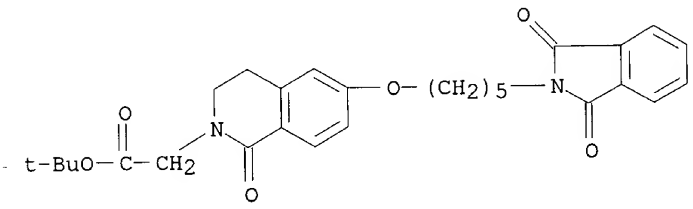
RN 190604-28-5 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



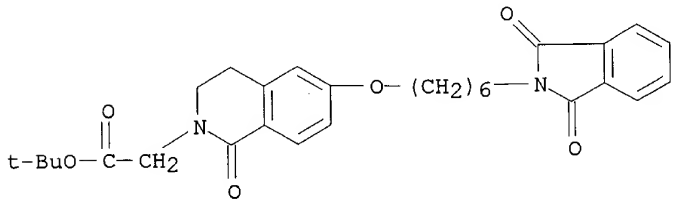
RN 190604-29-6 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



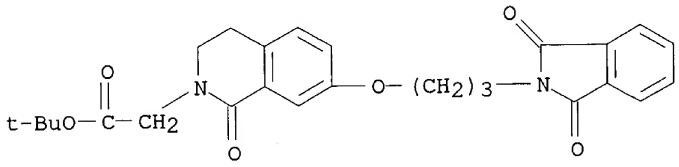
RN 190604-30-9 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[[5-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)pentyl]oxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



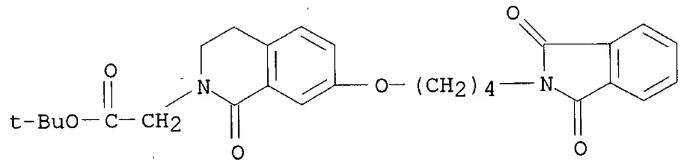
RN 190604-31-0 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[[6-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)hexyl]oxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



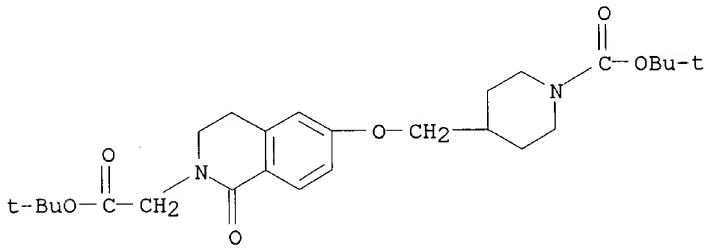
RN 190604-49-0 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 7-[3-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)propoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



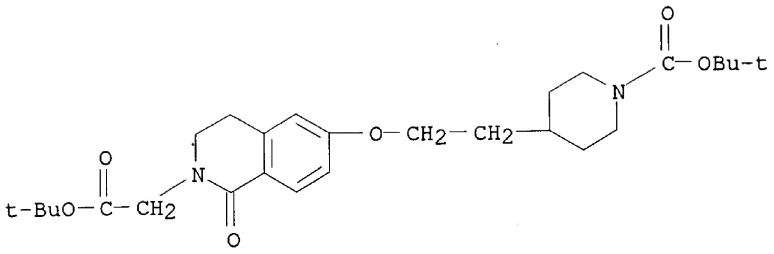
RN 190604-50-3 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 7-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



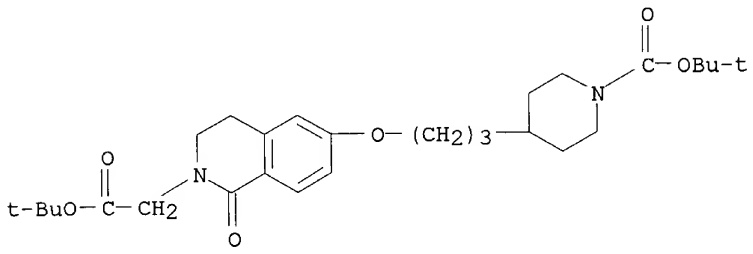
RN 190604-55-8 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]methoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



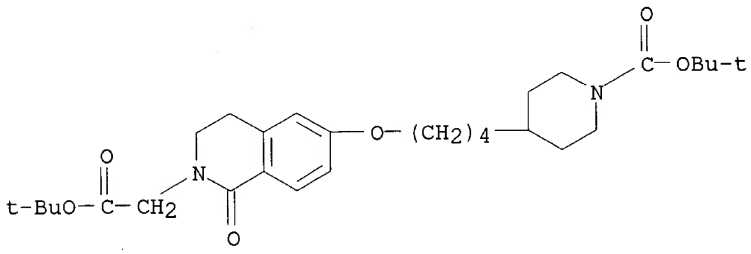
RN 190604-56-9 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[2-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]ethoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 190604-57-0 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[3-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]propoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 190604-58-1 CAPLUS
CN 2(1H)-Isoquinolineacetic acid, 6-[4-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]butoxy]-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L29 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1997:287128 CAPLUS
DN 126:330553
TI Preparation of (guanidinophenyl)isoquinolinonecarboxylates, -naphthalenonecarboxylates, and related compounds as glycoprotein IIb/IIIa antagonists.
IN Fisher, Matthew J.; Happ, Anne M.; Jakubowski, Joseph A.; Kinnick, Michael D.; Kline, Allen D.; Morin, Jr John M.; Sall, Daniel J.; Skelton, Marshall A.; Vasileff, Robert T.
PA Eli Lilly and Company, USA
SO U.S., 62 pp., Cont.-in-part of U.S. Ser. No. 96,220, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5618843	A	19970408	US 1994-255821	19940708
	IL 110172	A1	20011031	IL 1994-110172	19940630
	TW 450953	B	20010821	TW 1994-83106357	19940713
	AU 9467500	A1	19950202	AU 1994-67500	19940715
	AU 685807	B2	19980129		
	EP 635492	A1	19950125	EP 1994-305241	19940718
	EP 635492	B1	20021002		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	ZA 9405251	A	19960118	ZA 1994-5251	19940718
	AT 225337	E	20021015	AT 1994-305241	19940718
	CA 2128348	AA	19950123	CA 1994-2128348	19940719
	NO 9402734	A	19950123	NO 1994-2734	19940721
	HU 70397	A2	19951030	HU 1994-2156	19940721
	RU 2140907	C1	19991110	RU 1994-26092	19940721
	PL 181905	B1	20011031	PL 1994-304388	19940721
	FI 9403478	A	19950123	FI 1994-3478	19940722
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	CN 1108248	A	19950913	CN 1994-109191	19940722
	CN 1057292	B	20001011		
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	US 5731324	A	19980324	US 1995-376191	19950119
	US 6137002	A	20001024	US 1996-710823	19960923
	US 6020362	A	20000201	US 1998-47285	19980324
	US 6472405	B1	20021029	US 1999-299404	19990426
	CN 1274723	A	20001129	CN 1999-111888	19990731
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	US 6448269	B1	20020910	US 2001-883639	20010618
PRAI	US 1993-96220	B2	19930722		
	US 1994-255821	A	19940708		
	US 1995-376191	A1	19950119		
	US 1996-710823	A1	19960923		
	US 1998-47285	A1	19980324		
	US 1999-412142	B1	19991005		

OS MARPAT 126:330553
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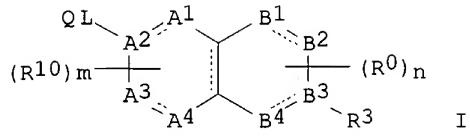

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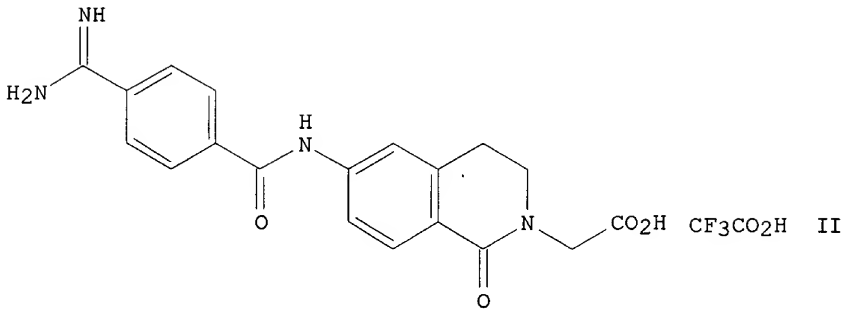


II

AB Title compds. [I; A1-A4, B1-B4 = C, O, S, N; .gtoreq.2 of A1-A4 and B1-B4 = C; L = bond, divalent (substituted) chain of 1-10 atoms; Q = org. group contg. .gtoreq.1 basic group; R3 = acidic group or salt, solvate, or prodrug thereof; R0, R10 = H, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, aryl, aralkyl, OH, alkoxy, aralkoxy, amino, carbamyl, CO2H, acyl, cyano, halo, NO2, sulfo; m, n = 2-6], were prepd. Thus, title compd. (II) (multistep prepn. given) inhibited ADP-induced platelet aggregation with IC50 = 0.1 .mu.M.

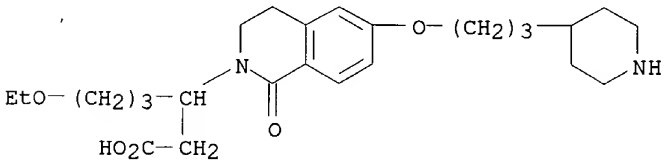
IT **164147-23-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (guanidinophenyl)isoquinolinonecarboxylates, -naphthalenonecarboxylates, and related compds. as glycoprotein IIb/IIIa antagonists)

RN 164147-23-3 CAPLUS

CN 2(1H)-Isoquinolinepropanoic acid, .beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-6-[3-(4-piperidinyl)propoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 164147-22-2
CMF C25 H38 N2 O5



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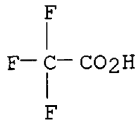
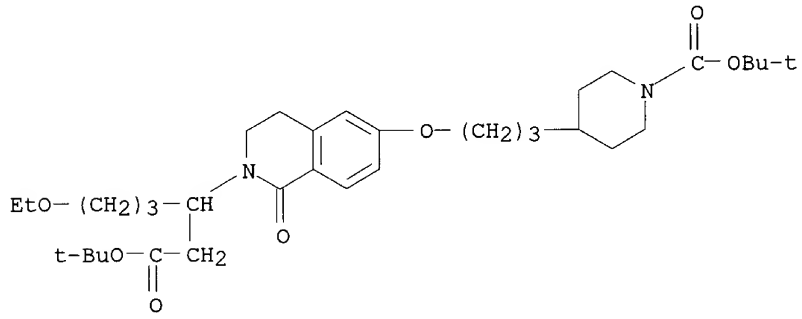
CRN 76-05-1
CMF C2 H F3 O2



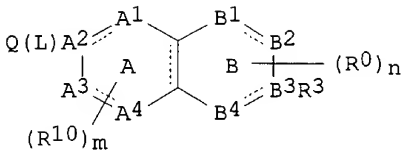
IT **181073-73-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of (guanidinophenyl)isoquinolinonecarboxylates, -naphthalenonecarboxylates, and related compds. as glycoprotein IIb/IIIa antagonists)
RN 181073-73-4 CAPLUS
CN 2(1H)-Isoquinolinepropanoic acid, 6-[3-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]propoxy]-.beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L29 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1996:560689 CAPLUS
DN 125:195447
TI Preparation of bicyclic aryl and heteroaryl compounds as glycoprotein IIb/IIIa antagonists
IN Fisher, Matthew Joseph; Jakubowski, Joseph Anthony; Martinelli, Michael John; Morin, John Michael, Jr.; Paal, Michael; Ruhter, Gerd; Ruterbories, Kenneth James; Schotten, Theo; Stenzel, Wolfgang; Vasileff, Robert Theodore
PA Lilly, Eli, and Co., USA
SO PCT Int. Appl., 310 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9622288	A1	19960725	WO 1996-US586	19960118
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
	US 5731324	A	19980324	US 1995-376191	19950119
	AU 9647580	A1	19960807	AU 1996-47580	19960118
	AU 706278	B2	19990610		
	EP 804431	A1	19971105	EP 1996-903516	19960118
	EP 804431	B1	20020724		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	JP 11502194	T2	19990223	JP 1996-522354	19960118
	BR 9607570	A	19990908	BR 1996-7570	19960118
	RU 2169146	C2	20010620	RU 1997-113756	19960118
	AT 220903	E	20020815	AT 1996-903516	19960118
	FI 9702951	A	19970821	FI 1997-2951	19970711
	NO 9703304	A	19970910	NO 1997-3304	19970717
PRAI	US 1995-376191	A	19950119		
	US 1993-96220	B2	19930722		
	US 1994-255821	A2	19940708		
	WO 1996-US586	W	19960118		

OS MARPAT 125:195447
GI



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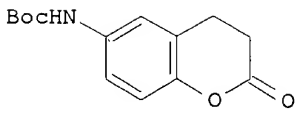

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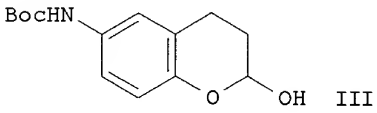

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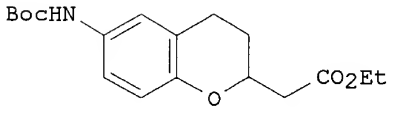

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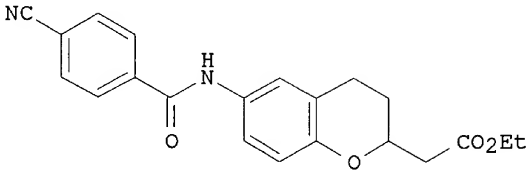


V

AB The title compds. [I; R0 = H, alkyl, alkenyl, etc.; R3 = acidic group contg. one or more acid radicals; R10 = H, alkyl, alkenyl, etc.; Q = basic group contg. one or more basic radicals; L = bond, (substituted) chain; n, m = 0-6; AB = benzopyran, isoquinoline, isoquinolone, tetrahydronaphthalene, dihydronaphthalene, tetralone], platelet aggregation inhibitors useful in alleviating the effects of atherosclerosis and arteriosclerosis, acute myocardial infarction, stable and unstable angina, transient ischemic attacks and strokes, arterial thrombosis, preeclampsia, embolism and restenosis, were prepd. and formulated. Thus, redn. of lactone II with DIBAL-H in CH2Cl2/PhMe followed by reaction of the intermediate III with EtOCOCH:PPh3 in PhMe, deprotection of acetate IV with TFA, reaction of unprotected acetate IV with 4-NCC6H4COCl treatment of the intermediate V with gaseous HCl in EtOH and subsequently with NH3/EtOH afforded the desired product I [AB = benzopyran; B4 = O; R1, R10 = H; R3 = CH2COOEt; QL = 4-NH:C(NH2)C6H4CONH; dotted bonds in ring A = unsatd.; dotted bonds B1B2 and B3B4 = satd.] which showed IC50 of 0.77 .mu.M against GPIIb-IIIa.

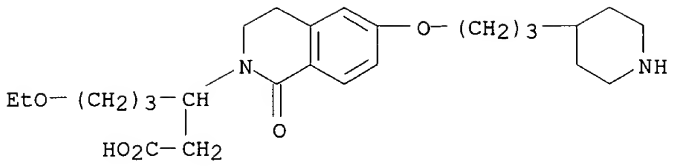
IT **164147-23-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic aryl and heteroaryl compds. as glycoprotein IIb/IIIa antagonists)

RN 164147-23-3 CAPLUS

CN 2(1H)-Isoquinolinepropanoic acid, .beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-6-[3-(4-piperidinyl)propoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

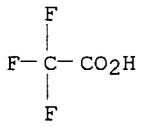
CM 1

CRN 164147-22-2
CMF C25 H38 N2 O5

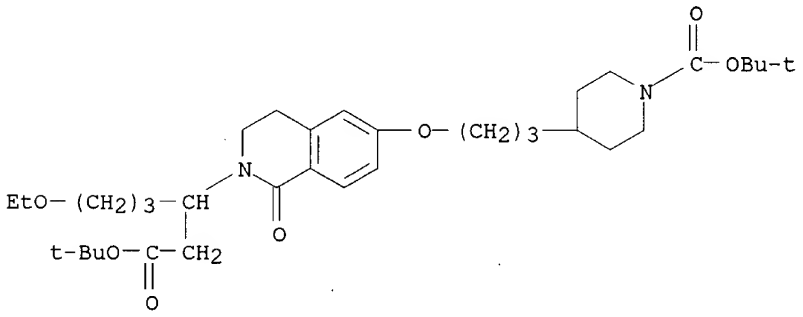


CM 2

CRN 76-05-1
CMF C2 H F3 O2



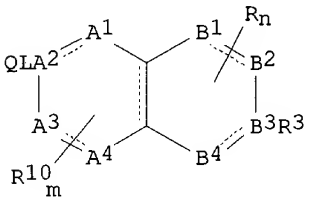
IT **181073-73-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bicyclic aryl and heteroaryl compds. as glycoprotein IIb/IIIa antagonists)
RN 181073-73-4 CAPLUS
CN 2(1H)-Isoquinolinepropanoic acid, 6-[3-[1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]propoxy]-.beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



~~L29~~ ANSWER 13 OF 13 CAPLUS COPYRIGHT 2003 ACS
AN 1995:638314 CAPLUS
DN 123:32968
TI Preparation of hydroarylalkanoates as glycoprotein IIb/IIIa antagonists
IN Fisher, Matthew Joseph; Happ, Anne Marie; Jakubowski, Joseph Anthony; Kinnick, Michael Dean; Kline, Allen Dale; Morin, John Michael, Jr.; Sall, Daniel Jon; Skelton, Marshall Alan; Vasileff, Robert Theodore
PA Lilly, Eli, and Co., USA
SO Eur. Pat. Appl., 108 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 635492	A1	19950125	EP 1994-305241	19940718
EP 635492	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5618843	A	19970408	US 1994-255821	19940708
PRAI US 1993-96220	A	19930722		
US 1994-255821	A	19940708		

OS MARPAT 123:32968
GI



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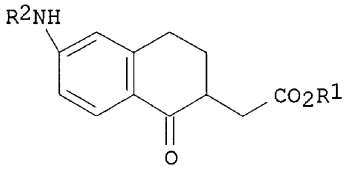


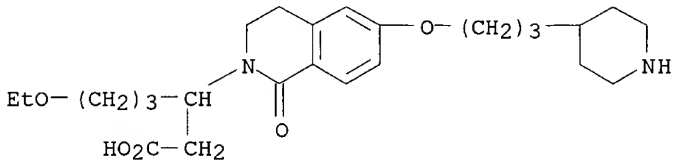
II

AB Title compds. [I; 2 of A1-A2, B1-B2 = C and the others = C, O, S, N; L = bond or a divalent (un)substituted chain of 1-10 atoms selected from C, N, S, O (sic); Q = an org. group comprising a basic radical (sic); R = H, OH, (cyclo)alkyl, alkenyl, alkoxy, aryl(alkyl), etc.; R3 = acidic group (sic); R10 = groups cited for R, etc.; m,n = 2-6] were prepd. Thus, 6-acetamido-.alpha.-tetralone was condensed with OHCCO2H and the product converted in 3 steps to title compd. II (R1 = Et, R2 = H) which was amidated by 4-(NC)C6H4CO2H to give, in 2 addnl. steps, II [R1 = H, R2 = 4-[H2N(HN:)C]C6H4CO]. The latter had IC50 of 0.06.mu.M against ADP-induced aggregation in human platelet rich plasma.

IT **164147-23-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroarylalkanoates as glycoprotein IIb/IIIa antagonists)
RN 164147-23-3 CAPLUS
CN 2(1H)-Isoquinolinepropanoic acid, .beta.-(3-ethoxypropyl)-3,4-dihydro-1-oxo-6-[3-(4-piperidinyl)propoxy]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

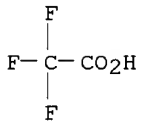
CM 1

CRN 164147-22-2
CMF C25 H38 N2 O5



CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **164148-50-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of hydroarylalkanoates as glycoprotein IIb/IIIa antagonists)
RN 164148-50-9 CAPLUS